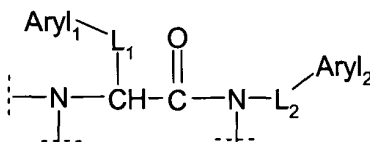


## AMENDMENTS TO THE CLAIMS

Please amend the claims as follows:

1. (Original) A compound comprising at least one moiety of the formula



wherein  $L_1$  and  $L_2$  are each a hydrocarbon group of from 1 to 6 carbons or a direct bond, and  $\text{Aryl}_1$  and  $\text{Aryl}_2$  are aryl, wherein each of  $\text{Aryl}_1$  and  $\text{Aryl}_2$  are substituted by at least one lipophilic group.

2. (Original) The compound of Claim 1, wherein the lipophilic group is selected from  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy,  $C_1$ - $C_6$  alkylaryl, or  $C_1$ - $C_6$  alkoxyaryl.

Claims 3-10 (Cancelled).

11. (Original) A pharmaceutical composition comprising a compound of claim 1 together with one or more pharmaceutically acceptable carriers or diluents.

12. (Original) The pharmaceutical composition of to claim 11, in the form of an oral dosage or parenteral dosage unit.

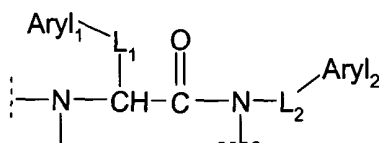
13. (Original) The pharmaceutical composition of claim 11, wherein said compound is administered as a dose in a range from about 0.01 to 500 mg/kg of body weight per day.

14. (Original) The pharmaceutical composition of claim 11, wherein said compound is administered as a dose in a range from about 0.1 to 200 mg/kg of body weight per day.

15. (Original) The pharmaceutical composition of claim 11, wherein said compound is administered as a dose in a range from about 0.1 to 100 mg/kg of body weight per day.

Claims 16-28 (Cancelled).

29. (Original) A method for the inhibition of the interaction of RAGE with its physiological ligands, which comprises administering to a subject in need thereof, at least one compound comprising at least one moiety of the formula

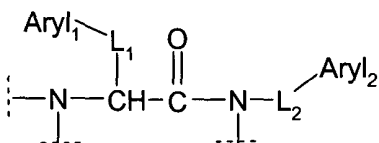


wherein L<sub>1</sub> and L<sub>2</sub> are each a hydrocarbon group of from 1 to 6 carbons or a direct bond, and Aryl<sub>1</sub> and Aryl<sub>2</sub> are aryl, wherein each of Aryl<sub>1</sub> and Aryl<sub>2</sub> are substituted by at least one lipophilic group.

30. (Original) The method of claim 29, wherein the ligand(s) is(are) selected from advanced glycated end products (AGEs), S100/calgranulin/EN-RAGE,  $\beta$ -amyloid and amphoterin.

31. (Cancelled).

32. (Original) A method for treating a disease state selected from the group consisting of acute and chronic inflammation, vascular permeability, nephropathy, atherosclerosis, retinopathy, Alzheimer's disease, erectile dysfunction, and tumor invasion and/or metastasis, which comprises administering to a subject in need thereof a therapeutically effective amount of at least one compound comprising at least one moiety of the formula

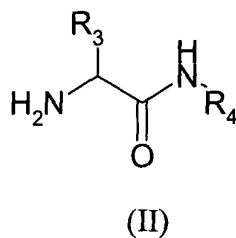


wherein  $L_1$  and  $L_2$  are each a hydrocarbon group of from 1 to 6 carbons, or a direct bond, and  $Aryl_1$  and  $Aryl_2$  are aryl, wherein each of  $Aryl_1$  and  $Aryl_2$  are substituted by at least one lipophilic group.

33. (Original) The method of claim 32, further comprising administering to a subject in need thereof at least one adjuvant and/or additional therapeutic agent(s).

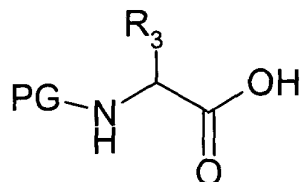
Claims 34-43 (Cancelled).

44. (Original) A process for preparing a compound of the Formula (II)

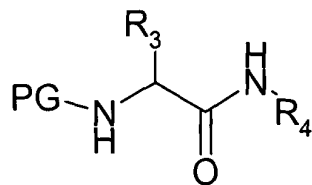


which comprises the steps:

(a) reacting a compound of the formula



with an amine of the formula  $R_4-NH_2$ , in the presence of a coupling reagent to form a compound of the formula



followed by removal of the protecting group PG,

wherein R<sub>3</sub> is selected from

- a) -C<sub>1-6</sub> alkyl;
- b) -aryl; and
- c) -C<sub>1-6</sub> alkylaryl;

R<sub>4</sub> is selected from

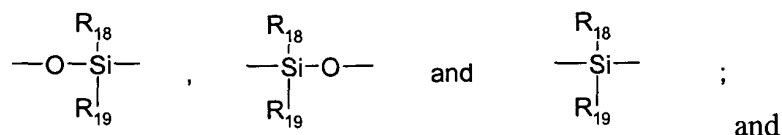
- a) -C<sub>1-6</sub> alkylaryl;
- b) -C<sub>1-6</sub> alkoxyaryl; and
- c) -aryl;

and wherein

the aryl and/or alkyl group(s) in R<sub>3</sub> and R<sub>4</sub> may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups selected from the group consisting of:

- a) -H;
- b) -Y- C<sub>1-6</sub> alkyl;  
-Y-aryl;  
-Y-C<sub>1-6</sub> alkylaryl;  
-Y-C<sub>1-6</sub>-alkyl-NR<sub>7</sub>R<sub>8</sub>; and  
-Y-C<sub>1-6</sub>-alkyl-W-R<sub>20</sub>;

wherein Y and W are, independently selected from the group consisting of  $-\text{CH}_2-$ ,  $-\text{O}-$ ,  $-\text{N}(\text{H})-$ ,  $-\text{S}-$ ,  $\text{SO}_2-$ ,  $-\text{CON}(\text{H})-$ ,  $-\text{NHC}(\text{O})-$ ,  $-\text{NHCON}(\text{H})-$ ,  $-\text{NHSO}_2-$ ,  $-\text{SO}_2\text{N}(\text{H})-$ ,  $-\text{C}(\text{O})-\text{O}-$ ,  $-\text{NHSO}_2\text{NH}-$ ,  $-\text{O}-\text{CO}-$ ,



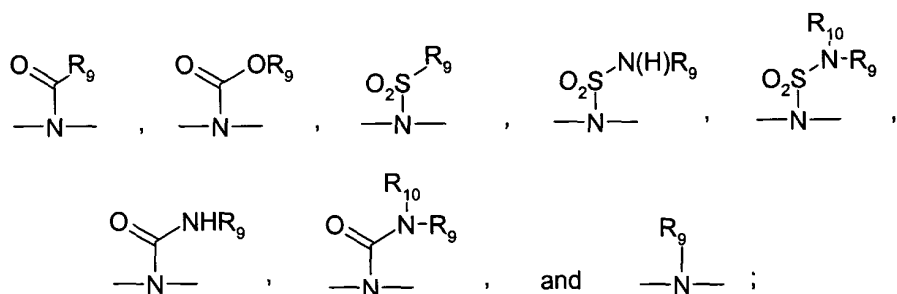
c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

$\text{R}_{18}$  and  $\text{R}_{19}$  are selected from the group consisting of aryl,  $\text{C}_1$ - $\text{C}_6$  alkyl,  $\text{C}_1$ - $\text{C}_6$  alkylaryl,  $\text{C}_1$ - $\text{C}_6$  alkoxy, and  $\text{C}_1$ - $\text{C}_6$  alkoxyaryl;

$\text{R}_{20}$  is selected from the group consisting of aryl,  $\text{C}_1$ - $\text{C}_6$  alkyl, and  $\text{C}_1$ - $\text{C}_6$  alkylaryl;

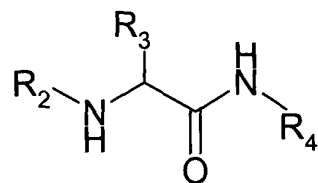
$\text{R}_7$  and  $\text{R}_8$  are selected from the group consisting of hydrogen, aryl,  $\text{C}_1$ - $\text{C}_6$  alkyl, and  $\text{C}_1$ - $\text{C}_6$  alkylaryl; and wherein

$\text{R}_7$  and  $\text{R}_8$  may be taken together to form a ring having the formula  $-(\text{CH}_2)_m-\text{X}-(\text{CH}_2)_n-$  bonded to the nitrogen atom to which  $\text{R}_7$  and  $\text{R}_8$  are attached, wherein m and n are, independently, 1, 2, 3, or 4; X is  $-\text{CH}_2-$ ,  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{S}(\text{O}_2)-$ ,  $-\text{C}(\text{O})-$ ,  $-\text{CON}(\text{H})-$ ,  $-\text{NHC}(\text{O})-$ ,  $-\text{NHCON}(\text{H})-$ ,  $-\text{NHSO}_2-$ ,  $-\text{SO}_2\text{N}(\text{H})-$ ,  $-\text{C}(\text{O})-\text{O}-$ ,  $-\text{O}-\text{C}(\text{O})-$ ,  $-\text{NHSO}_2\text{NH}-$ ,



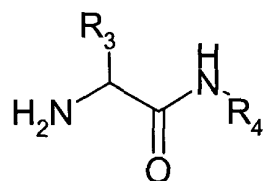
and PG is an amino protecting group.

45. (Original) A process for preparing a compound of Formula (III)



(III)

which comprises reacting a compound of Formula (II)

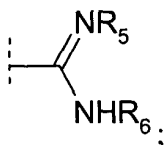


(II)

(A) with an aldehyde or ketone of the formula  $\text{R}_{12}\text{C}(\text{O})\text{R}_{11}$  in the presence of a reducing agent, wherein  $\text{R}_{12}$  and  $\text{R}_{11}$  are independently selected from

- a)  $\text{--H}$ ;
- b)  $\text{--C}_{1-6}$  alkyl;
- c)  $\text{--aryl}$ ;
- d)  $\text{--C}_{1-6}$  alkylaryl;
- e)  $\text{--C}(\text{O})\text{--O--C}_{1-6}$  alkyl;
- f)  $\text{--C}(\text{O})\text{--O--C}_{1-6}$  alkylaryl;
- g)  $\text{--C}(\text{O})\text{--NH--C}_{1-6}$  alkyl;
- h)  $\text{--C}(\text{O})\text{--NH--C}_{1-6}$  alkylaryl;
- i)  $\text{--SO}_2\text{--C}_{1-6}$  alkyl;
- j)  $\text{--SO}_2\text{--C}_{1-6}$  alkylaryl;
- k)  $\text{--SO}_2\text{--aryl}$ ;

- l)  $-\text{SO}_2\text{-NH-C}_{1-6}$  alkyl;
- m)  $-\text{SO}_2\text{-NH-C}_{1-6}$  alkylaryl;
- n)



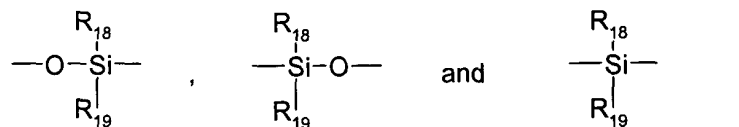
- o)  $-\text{C(O)-C}_{1-6}$  alkyl; and
- p)  $-\text{C(O)-C}_{1-6}$  alkylaryl;

and wherein

the aryl and/or alkyl group(s) in  $\text{R}_1$  and  $\text{R}_2$  may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups selected from the group consisting of:

- a)  $-\text{H}$ ;
- b)  $-\text{Y-C}_{1-6}$  alkyl;
- $-\text{Y-aryl}$ ;
- $-\text{Y-C}_{1-6}$  alkylaryl;
- $-\text{Y-C}_{1-6}\text{-alkyl-NR}_7\text{R}_8$ ; and
- $-\text{Y-C}_{1-6}\text{-alkyl-W-R}_{20}$ ;

wherein Y and W are, independently selected from the group consisting of  $-\text{CH}_2-$ ,  $-\text{O}-$ ,  $-\text{N(H)}$ ,  $-\text{S-}$ ,  $\text{SO}_2-$ ,  $-\text{CON(H)-}$ ,  $-\text{NHC(O)-}$ ,  $-\text{NHCON(H)-}$ ,  $-\text{NHSO}_2-$ ,  $-\text{SO}_2\text{N(H)-}$ ,  $-\text{C(O)-O-}$ ,  $-\text{NHSO}_2\text{NH-}$ ,  $-\text{O-CO-}$ ,



and

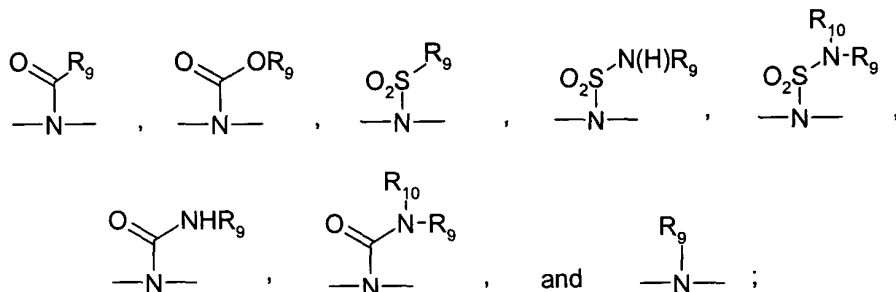
c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

R<sub>7</sub> and R<sub>8</sub> are selected from the group consisting of hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, and C<sub>1</sub>-C<sub>6</sub> alkylaryl;

R<sub>18</sub> and R<sub>19</sub> are selected from the group consisting of aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylaryl, C<sub>1</sub>-C<sub>6</sub> alkoxy, and C<sub>1</sub>-C<sub>6</sub> alkoxyaryl;

R<sub>20</sub> is selected from the group consisting of aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, and C<sub>1</sub>-C<sub>6</sub> alkylaryl; and wherein

R<sub>7</sub> and R<sub>8</sub> may be taken together to form a ring having the formula -(CH<sub>2</sub>)<sub>m</sub>-X-(CH<sub>2</sub>)<sub>n</sub>- bonded to the nitrogen atom to which R<sub>7</sub> and R<sub>8</sub> are attached, and/or R<sub>5</sub> and R<sub>6</sub> may, independently, be taken together to form a ring having the formula -(CH<sub>2</sub>)<sub>m</sub>-X-(CH<sub>2</sub>)<sub>n</sub>- bonded to the nitrogen atoms to which R<sub>5</sub> and R<sub>6</sub> are attached, wherein m and n are, independently, 1, 2, 3, or 4; X is -CH<sub>2</sub>-, -O-, -S-, -S(O<sub>2</sub>)-, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -O-C(O)-, -NHSO<sub>2</sub>NH-,

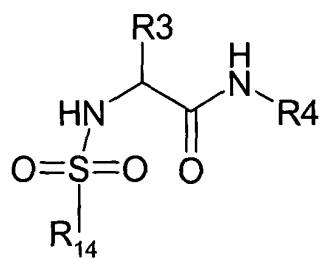


or



(B) with a tertiary amine base and an alkylating agent of the formula  $R_2-Z$ , wherein Z is a nucleofugal group, and  $R_2$  is as defined above for  $R_{12}$  or  $R_{11}$ .

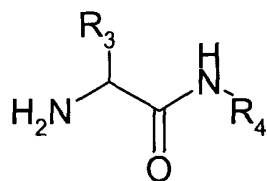
46. (Original) A process for preparing a compound of Formula (IV)



(IV)

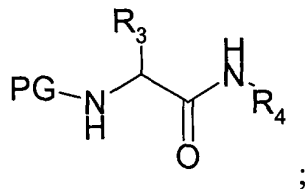
which comprises either

(a) treating a compound of the formula



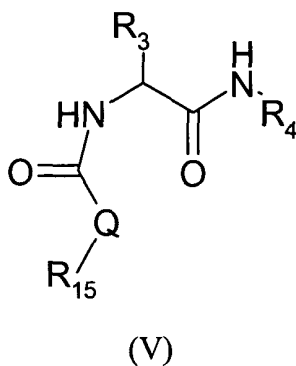
with a compound of the formula  $R_{14}SO_2Cl$ , wherein  $R_{14}$  is  $C_{1-6}$  alkyl,  $C_{1-6}$  alkylaryl, or aryl, or

(b) treating an amine compound of the formula  $R_{15}-NH_2$  with sulfonyl chloride, to afford an intermediate which is then contacted with a compound of the formula

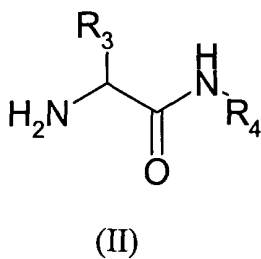


wherein  $R_3$ ,  $R_4$ , and PG are as defined in claim 44.

47. (Original) A process for preparing a compound of Formula (V)



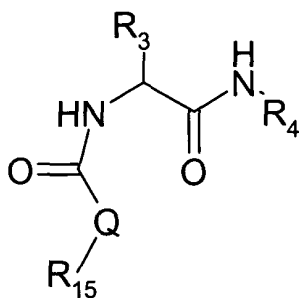
which comprises contacting a compound of Formula (II)



wherein  $R_3$  and  $R_4$  are as defined in claim 44,

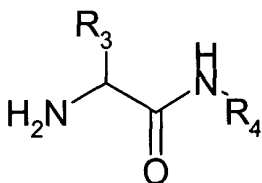
with a compound of the formula  $R_{15}NCO$ , optionally in the presence of a tertiary amine,  
wherein  $R_{15}$  is  $-C_{1-6}$  alkyl or  $-C_{1-6}$  alkylaryl and  $Q$  is  $-NH-$ .

48. (Original) A process for preparing a compound of Formula (V)



(V)

which comprises contacting a compound of Formula (II)

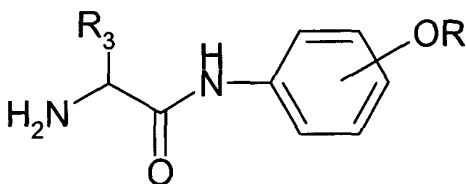


(II)

as defined in claim 47,

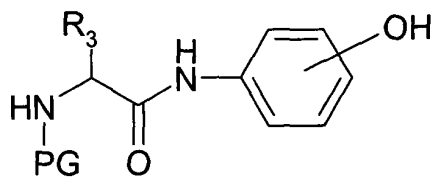
with a compound of the formula R<sub>15</sub>O-C(O)Cl and a tertiary amine base, wherein R<sub>14</sub> is -C<sub>1-6</sub> alkyl or -C<sub>1-6</sub> alkylaryl and Q is -O-.

49. (Original) A process for preparing a compound of Formula (VI)



(VI)

which comprises contacting a compound of the formula

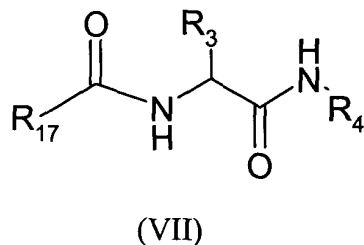


with triphenylphosphine and either (a) diisopropyl azodicarboxylate or diethyl azodicarboxylate and an alcohol of the formula  $R_{16}OH$ , followed by treatment with a strong base or strong acid, depending upon the identity of PG;

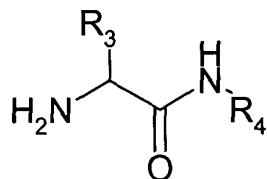
wherein PG is a urethane-type blocking group; and

$R_{16}$  is  $C_{1-6}$  alkyl,  $-C_{1-6}$  alkylaryl,  $-C_{1-6}$  alkyl-Si( $C_{1-6}$  alkyl) $_3$ ,  $-C_{1-6}$  alkyl-OSi( $C_{1-6}$  alkylaryl) $_3$ , or  $-C_{1-6}$  alkyl-NR $_7$ R $_8$ , provided that neither of R $_7$  and R $_8$  are hydrogen.

50. (Original) A process for preparing a compound of Formula (VII)



which comprises contacting a compound of the formula



with either

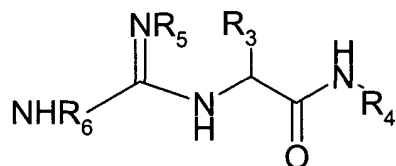
(a) a compound of the formula  $(R_{17}-CO)_2O$ , in the presence of a tertiary amine;

(b) a compound of the formula  $R_{17}-C(O)Cl$ , in the presence of a tertiary amine; or

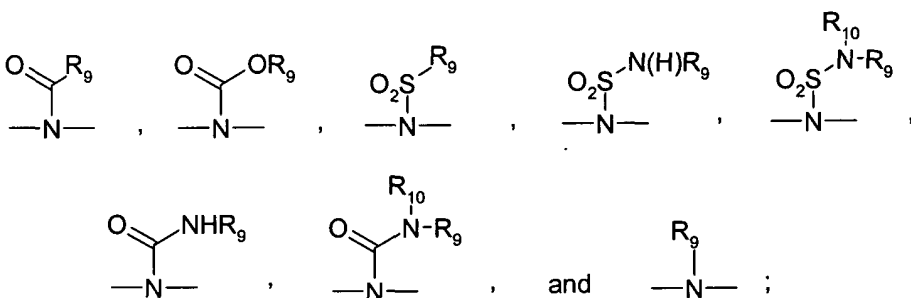
(c) a compound of the formula  $R_{17}-C(O)OH$  and a coupling reagent.

wherein  $R_{17}$  is  $C_{1-6}$  alkyl or  $C_{1-6}$  alkylaryl; and  $R_3$  and  $R_4$  are as defined in claim 44.

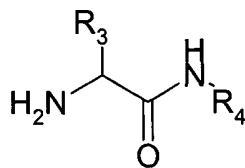
51. (Original) A process for preparing a compound of Formula (VIII)



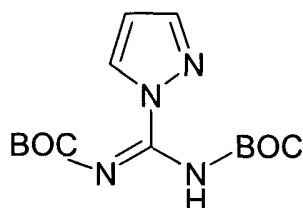
wherein  $R_3$  and  $R_4$  are as defined in claim 43, and  $R_5$  and  $R_6$  are independently selected from the group consisting of hydrogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkylaryl, and aryl; and/or  $R_5$  and  $R_6$  may, independently, be taken together to form a ring having the formula  $-(CH_2)_m-X-(CH_2)_n-$  bonded to the nitrogen atoms to which  $R_5$  and  $R_6$  are attached, wherein  $m$  and  $n$  are, independently, 1, 2, 3, or 4;  $X$  is selected from the group consisting of  $-CH_2-$ ,  $-O-$ ,  $-S-$ ,  $-S(O_2)-$ ,  $-C(O)-$ ,  $-CON(H)-$ ,  $-NHC(O)-$ ,  $-NHCON(H)-$ ,  $-NHSO_2-$ ,  $-SO_2N(H)-$ ,  $-C(O)-O-$ ,  $-O-C(O)-$ ,  $-NHSO_2NH-$ ,



which comprises contacting a compound of the formula



with an activated amidine reagent of the formula



in the presence of a tertiary amine, followed by treatment with a strong acid, wherein BOC represents tert-butoxycarbonyl-.